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- L6 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2006:874421 CAPLUS
- DN 145:376982
- TI Solid-phase synthesis and structure-activity relationships of novel
- biarylethers as melanin-concentrating hormone receptor-1 antagonists
- AU Ma, Vu; Bannon, Anthony W.; Baumgartner, Jamie; Hale, Clarence; Hsieh, Faye; Hulme, Christopher; Rorrer, Kirk; Salon, John; van Staden, Carlo; Tempest, Paul
- CS Chemistry Research and Discovery, Amgen Inc., Thousand Oaks, CA, 91320,
- SO Bioorganic & Medicinal Chemistry Letters (2006), 16(19), 5066-5072 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 145:376982
- Melanin-concentrating hormone (MCH) is a cyclic 19 amino acid orexigenic neuropeptide. The action of MCH on feeding is thought to involve the activation of its resp. 6 protein-coupled receptor MCH-R1. Consequently, antagonists that block MCH regulated MCH-R1 activity may provide a viable approach to the treatment of diet-induced obesity. This communication reports the discovery of a novel MCH-R1 receptor antagonist, which was identified through high throughput screening. The solid-phase synthesis and structure-activity relationship of related analogs is described.

 IT 846020-68-6P
- RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(solid phase synthesis and structure-activity relationships of biarylethers as melanin-concentrating hormone receptor-1 antagonists identified through high throughput screening)

- RN 846020-68-6 CAPLUS
- CN Benzamide, 4-(3,4-dimethylphenoxy)-3-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

PAGE 1-A



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:315070 CAPLUS

DN 145:285

TI Identification of 4-amino-2-cyclohexylaminoquinazolines as metabolically stable melanin-concentrating hormone receptor 1 antagonists

AU Kanuma, Kosuke; Omodera, Katsunori; Nishiguchi, Mariko; Funakoshi, Takeo; Chaki, Shigeyuki; Nagase, Yasuko; Iida, Izumi; Yamaguchi, Jun-ichi; Semple, Graeme; Tran, Thuy-Anh; Sekiguchi, Yoshinori

CS Medicinal Research Laboratories, Taisho Pharmaceutical Co. Ltd, Saitama, Saitama, 331-9530, Japan

SO Bioorganic & Medicinal Chemistry (2006), 14(10), 3307-3319 CODEN: BMECEP: ISSN: 0968-0896

PB Elsevier B.V.

DT Journal

LA English

AB The optimization of the distance between two key pharmacophore features within our first hit compds. led to the identification of a new class of potent non-peptidic antagonists for the MCH-R1, based around 4-amino-2-cyclohexylaminoquinazolines. In particular, ATC0065, N 2-[cis-4-(12-[4-Bromo-2-(trifluoromethoxy)phenyl]ethyl)amino)cyclohexyl]-N4,N4-dimethylquinazoline-2,4-diamine dihydrochloride, bound with high affinity to the MCH-R1 (IC50 value of 16 nM) and showed good metabolic stability in liver microsomes from human and rat.

IT 617245-27-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(amino cyclohexylaminoquinazolines as metabolically stable melanin-concentrating hormone receptor 1 antagonists)

RN 617245-27-9 CAPLUS

CN Benzamide, 2-methoxy-4-[[[(3-phenoxyphenyl)amino]carbonyl]amino]-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:182684 CAPLUS
- DN 142:254663
- TI Amine-containing phenyl derivative melanin-concentrating hormone receptor antagonists for therapeutic use

IN Tempest, Paul; Hulme, Christopher; Ma, Vu

PA

Amgen, Inc., USA PCT Int. Appl., 319 pp. SO

CODEN: PIXXD2

DT Patent LA English FAN.CNT 1

PATENT NO.									APPLICATION NO.												
PI	WO 2005019240 WO 2005019240					A2 2005030					WO 2	004-	US25	970							
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GT																					

AB

The title compds., or pharmaceutically-acceptable salts, tautomers or prodrugs thereof, are provided. Also provided are methods for treating or preventing a melanin-concentrating hormone-mediated disorder in a subject, comprising administering to a subject in need of such treatment or prevention a compound of the invention. Preparation of compds, e.g. I, is described.

I 846020-68-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(amine-containing $\mbox{\sc Ph}$ derivative melanin-concentrating hormone receptor antagonists for

therapeutic use)

RN 846020-68-6 CAPLUS

CN Benzamide, 4-(3,4-dimethylphenoxy)-3-[[[(4-phenoxyphenyl)amino]carbonyl]am ino]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

- L6 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2004:767279 CAPLUS
- DN 141:405643
- TI 4-Acylamino-and 4-ureidobenzamides as melanin-concentrating hormone (MCH) receptor 1 antagonists
- AU Receveur, Jean-Marie; Bjurling, Emelie; Ulven, Trond; Little, Paul Brian; Norregaard, Pia K.; Hoegberg, Thomas
- CS 7TM Pharma A/S, Horsholm, DK-2970, Den.

SO Bioorganic & Medicinal Chemistry Letters (2004), 14(20), 5075-5080 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier B.V.

DT Journal

LA English

- OS CASREACT 141:405643
- AB Synthesis, in vitro biol. evaluation and structure-activity relationships of 4-acylamino-and 4-ureidobenzamides as novel hMCHIR-antagonists are disclosed. The nature of the amine side chains could be varied considerably in contrast to the central benzamide scaffold and aromatic substituents.
- IT 617244-41-4 617245-26-8 617245-27-9 617245-56-4 617246-58-9 617246-60-3

791613-58-6

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(A-Acylamino-and 4-ureidobenzamides as melanin-concentrating hormone (MCH) receptor 1 antagonists)

RN 617244-41-4 CAPLUS

CN Benzamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-2-methoxy-4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (CA INDEX NAME)

RN 617245-26-8 CAPLUS

CN Benzamide, 2-methoxy-4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

RN 617245-27-9 CAPLUS

CN Benzamide, 2-methoxy-4-[[[(3-phenoxyphenyl)amino]carbonyl]amino]-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

RN 617245-56-4 CAPLUS

CN Benzamide, 2-methoxy-N-[3-(4-morpholiny1)propy1]-4-[[[(3-phenoxypheny1)amino]carbony1]amino]- (CA INDEX NAME)

RN 617246-58-9 CAPLUS

CN Benzamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-4-[[(4-phenoxyphenyl)amino]carbonyl]amino]- (CA INDEX NAME)

RN 617246-60-3 CAPLUS

CN Benzamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

Ph-CH2

RN 791613-58-6 CAPLUS

CN Benzamide, 2-methoxy-4-[[[(2-phenoxyphenyl)amino]carbonyl]amino]-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2003:837034 CAPLUS
- DN 139:337786
- TI Preparation of novel benzamides for use in MCH receptor related disorders IN Ulven, Trond; Hoegberg, Thomas; Elling, Christian E.; Norregaard, Pia
- Karina; Bjurling, Anna Emelie; Receveur, Jean-Marie; Little, Paul Brian
- PA 7TM Pharma A/S, Den.
- SO PCT Int. Appl., 63 pp. CODEN: PIXXD2
- DT Patent

FAN.	ENT I		KIND			DATE		APPLICATION NO.						DATE					
ΡI	WO	2003087044			A2		20031023									20030408			
		W:						AU,		BA,	BB,	BG,	BR,	BY,	BZ.	CA,	CH,	CN,	
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			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
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		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
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			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
	AU 2003226927					A1		2003	1027		AU 2003-226927						20030408		
PRAI	DK	OK 2002-518 OK 2002-757						2002	0409										
	DK							2002	0516										
	WO 2003-DK232					W		2003	0408										
OS GI	MARPAT 139:337786																		

AB Title compds. I [wherein A = a linker, e.g. CHR/CONR7, CONR7, CONR7, SOZNR7, CHR/NR/CO, NR*CONR7, hexahydro-2-oxo-pyrimidine-1,3-diyl, 2-oxoimidazolidine-1,3-diyl, 1,2,4-oxadiazolediyl, 1,3,4-oxadiazolediyl, (un)substituted imidazolediyl or 1,2,4-triazolediyl, CH-CH, OCHR7, NR*CHR7, or SCHR7; B = CH2, OCH2, O, SOZ, NR*7, S, NR*CH2, SCH2, CONR7, SOZNR7, CO, or CHOR7; Arl and Ar² = independently (hetero)aryl; Rl and R2 = independently H, halo, CF3, OCF3, SCF3, SMe, nitrile, alkyl, alkenyl, or alkynyl; or Rl and R2 may be connected to each other to form annelated rings; R5 and R6 = independently H, halo, alkoxy, OH, (di)alkylamino, hydroxyalkyl, carboxamido, acyl(amido), CHO, nitrile, alkyl, alkenyl, alkynyl, SMe, (fluoro)alkyl, (fluoro)alkoxy, (fluoro)thioalkoxy, SOZNR2, (di)alkylaminosulfonyl, or alkylsulfonyl; more than one R5 and/or R6 may

II

be present; Q = substituted amino; R7 = independently H, alkyl, or alkenyl; n = 1-3; and physiol. acceptable salts, complexes, solvates, and prodrugs thereof] were prepared as melanin-concentrating hormone (MCH) receptor modulators. For example, coupling of 4-aminobenzoic acid with 4-phenoxyphenyl isocyanate in DCM gave 4-[3-(4-phenoxyphenyl) ureido]benzoic acid (798). Condensation of the acid with 2-(aminomethyl)-1-ethylpyrrolidine afforded the ureidobenzamide II (348). In assays of [1281]-MCH binding and phosphatidylinositol turnover using transiently transfected COS-7 cells or stably transfected CHO cells expressing the human MCH-1 receptor, II exhibited activity with IC50 values of 0.25 μ M and 1.3 μ M, resp. Thus, I and their prevention of obesity, depression, diabetes, bulimia, and other MCH receptor related

disorders (no data) IT 617246-50-1P 617246-51-2P 617246-52-3P 617246-55-6P 617246-57-8P 617246-58-9P 617246-60-3P 617246-62-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MCH receptor modulator; preparation of benzamides as MCH receptor modulators for treatment of obesity, depression, diabetes, bulimia, and related disorders)

RN 617246-50-1 CAPLUS

CN Benzamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)

RN 617246-51-2 CAPLUS CN Benzamide, N-[2-(4-

Benzamide, N-[2-(4-morpholinyl)ethyl]-4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (CA INDEX NAME)

RN 617246-52-3 CAPLUS

CN Benzamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

PAGE 2-A

RN 617246-55-6 CAPLUS

CN Benzamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[[4-(phenylmethyl)-2-morpholinyl]methyl]- (CA INDEX NAME)

Ph0

CN

RN 617246-57-8 CAPLUS

Benzamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)

RN 617246-58-9 CAPLUS

CN Benzamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-4-[[((4-phenoxyphenyl)amino]carbonyl]amino]- (CA INDEX NAME)

RN 617246-60-3 CAPLUS

CN Benzamide, 4-[[(4-phenoxypheny1)amino]carbony1]amino]-N-[2-[4-(phenylmethy1)-1-piperaziny1]ethy1]- (CA INDEX NAME)

Ph-CH2

RN 617246-62-5 CAPLUS

CN Benzamide, N-methyl-N-[3-(4-methyl-1-piperazinyl)propyl]-4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (CA INDEX NAME)